

Supplemental Material

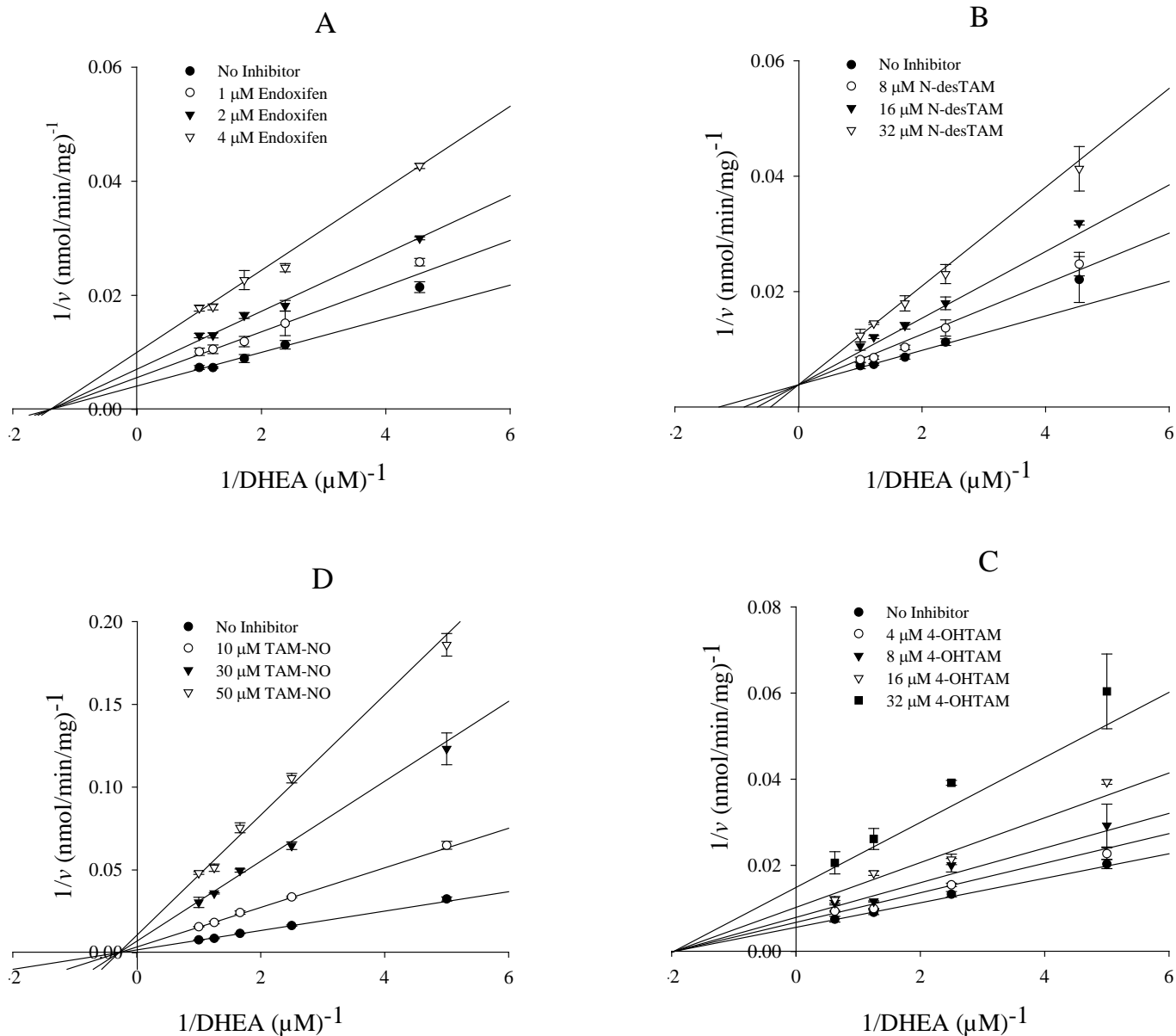
Drug Metabolism & Disposition

Endoxifen and Other Metabolites of Tamoxifen Inhibit Human Hydroxysteroid Sulfotransferase 2A1 (hSULT2A1)

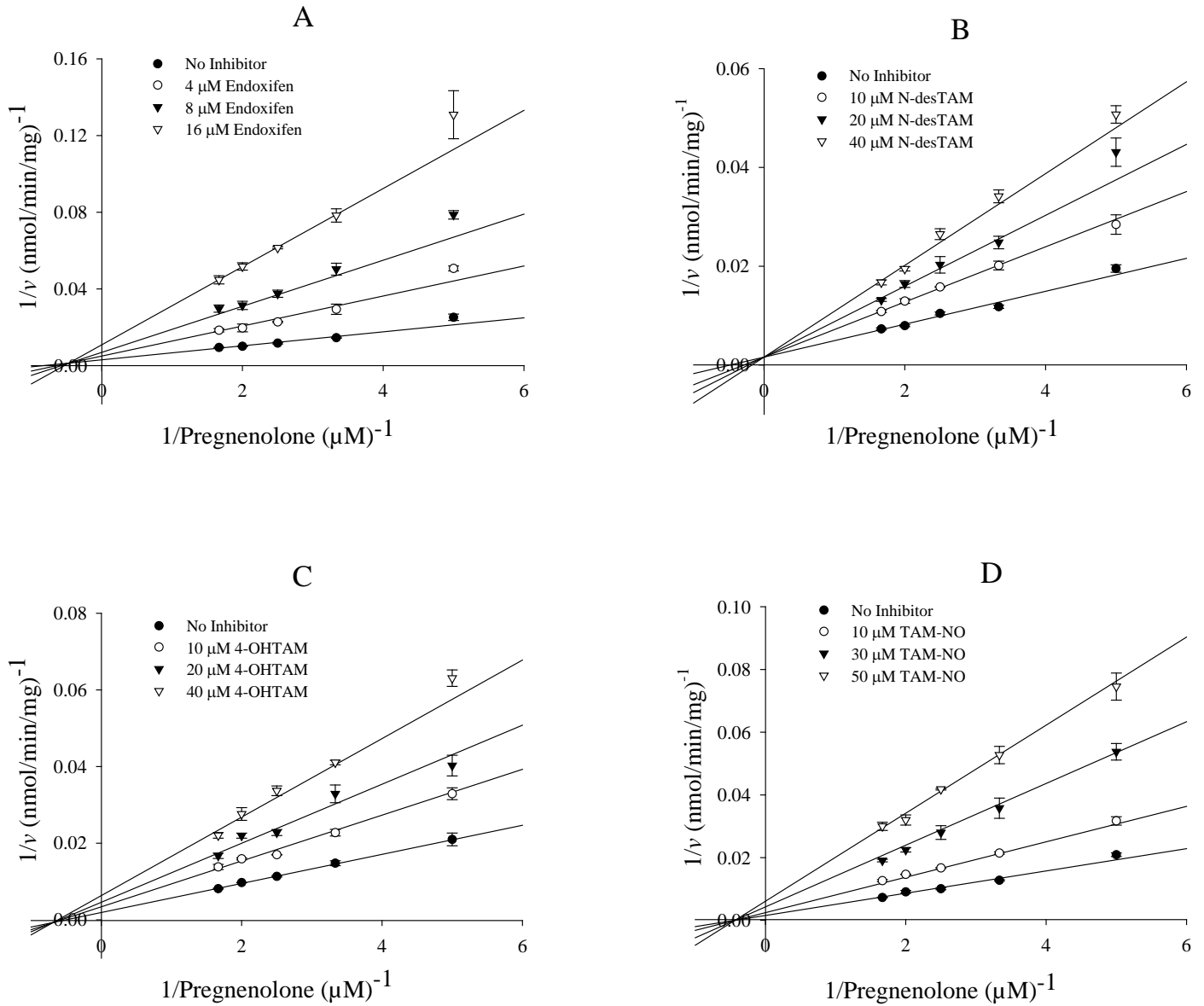
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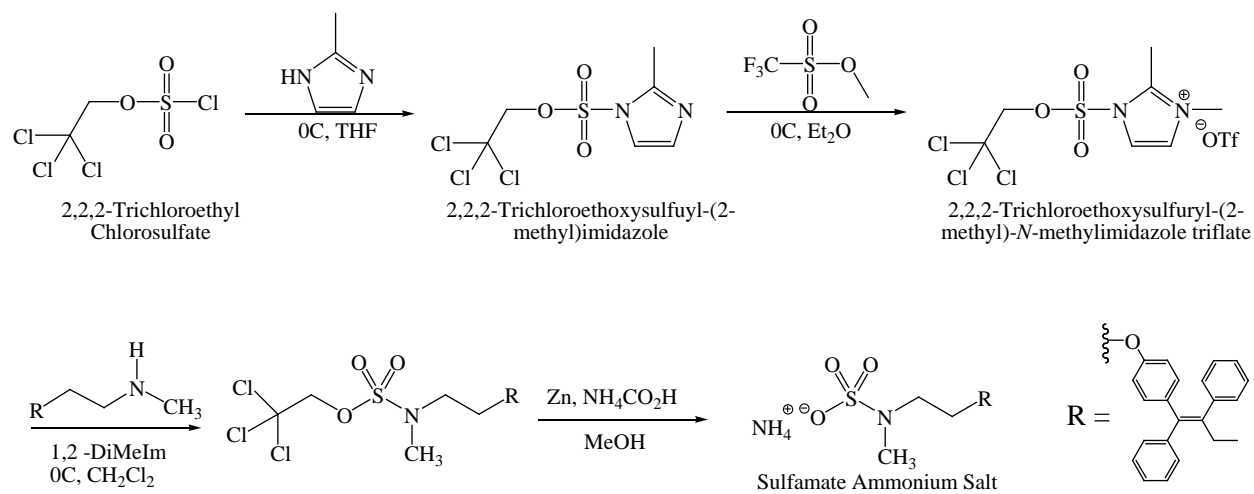
Supplemental Figure S1. K_i determinations for inhibitors of the hSULT2A1-catalyzed sulfation of DHEA. The inhibitors that were used in this study were (A) Endoxifen, (B) N-desTAM, (C) 4-OHTAM, and (D) TAM-NO. Each solid line represents a single concentration of inhibitor in the presence of various substrate concentrations. Data are the means \pm standard error from triplicate determinations.



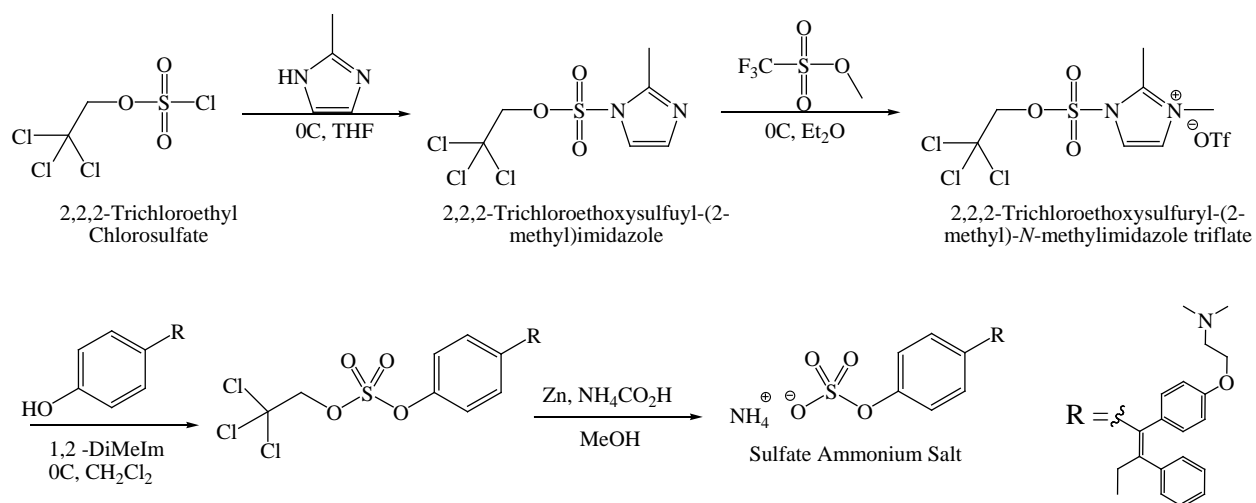
Supplemental Figure S2. K_i determinations for inhibitors of the hSULT2A1-catalyzed sulfation of pregnenolone. The inhibitors that were used in this study were (A) Endoxifen, (B) N-desTAM, (C) 4-OHTAM, and (D) TAM-NO. Each solid line represents a single concentration of inhibitor in the presence of various substrate concentrations. Data are the means \pm standard error from triplicate determinations.



Supplemental Figure S3. Synthesis of N-desTAM-S. The yield for the conversion of N-desTAM to its corresponding sulfamate was 90%. (Abbreviations: THF, tetrahydrofuran; Et₂O, ethyl ether; 1,2-DiMeIm, 1,2-dimethylimidazole; CH₂Cl₂, dichloromethane; NH₄CO₂H, ammonium formate; Zn, zinc; MeOH, methanol)



Supplemental Figure S4. Synthesis of 4-TAM-SO₄. The yield for the conversion of 4-OHTAM to its corresponding sulfate was 91%. (Abbreviations: THF, tetrahydrofuran; Et₂O, ethyl ether; 1,2-DiMeIm, 1,2-dimethylimidazole; CH₂Cl₂, dichloromethane; NH₄CO₂H, ammonium formate; Zn, zinc; MeOH, methanol)



Supplemental Figure S5. LC-MS analysis of 4-TAM-SO₄ formed in a reaction catalyzed by hSULT2A1.

